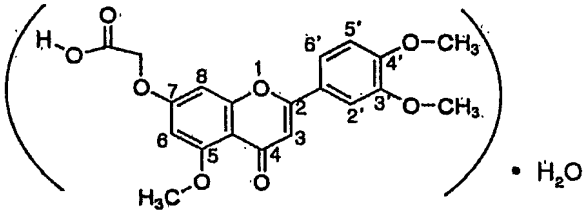
【CLAIMS】

【Claim 1】

A 7-carboxymethyloxy-3',4',5-trimethoxy flavone.monohydrate represented by formula 1 having mucus protecting activity for gastrointestinal tract including colon.

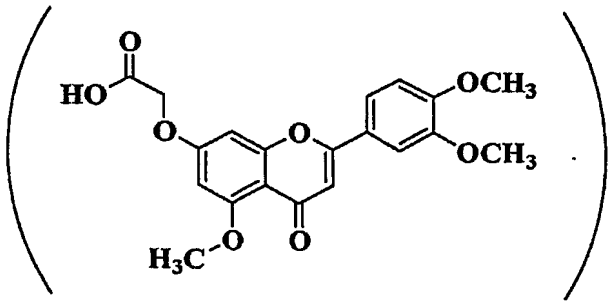
<Formula 1>



【Claim 2】

A 7-carboxymethyloxy-3',4',5-trimethoxy flavone.solvate represented by formula 1a.

<Formula 1a>



【Claim 3】

The 7-carboxymethyloxy-3',4',5-trimethoxy flavone.solvate as set forth in claim 2, wherein the solvent is anhydrous ethanol.

【Claim 4】

A preparation method of 7-carboxymethyloxy-3',4',5-trimethoxy flavone represented in scheme 3, comprising the following steps:

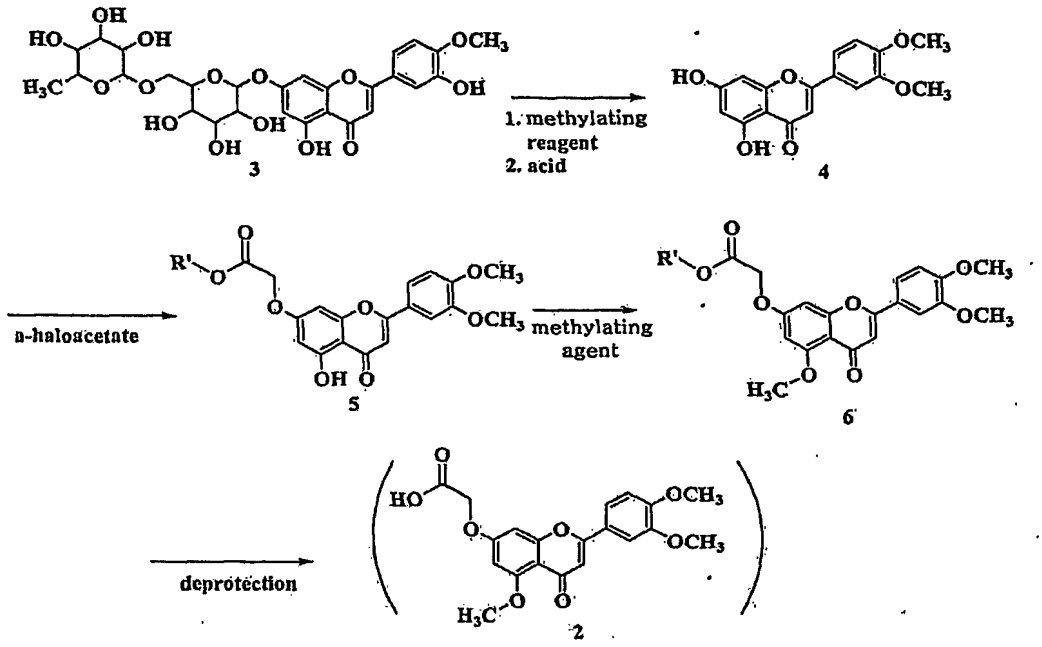
(1) A compound of formula 3 is reacted with methylating agent in the presence of base to convert hydroxyl group of carbon-3' into methoxy group, followed by acid treatment to prepare a compound of formula 4 (Step 1);

(2) The compound of formula 4 is reacted in the presence of base with alpha-haloacetate in which carboxyl group is protected to give a compound of formula 5 (Step 2);

(3) The compound of formula 5 is reacted with methylating reagent to convert hydroxyl group of carbon-5 into methoxyl group, resulting in a compound of formula 6 (Step 3); and

(4) Deprotection of the compound of formula 6 is performed, resulting in 7-carboxymethyloxy-3',4',5-trimethoxy flavone of formula 2 (Step 4).

<Scheme 3>



(Wherein, R' is a protecting group selected from a group consisting of ethyl, methyl, t-butyl, benzyl, trichloroethyl and silyl)

【Claim 5】

The preparation method as set forth in claim 4, wherein the reaction solvent used in step 1 is selected from a group consisting of dimethylformamide, dimethylsulfoxide and acetone, the base is selected from a group consisting of potassium carbonate, sodium hydroxide, potassium hydroxide and sodium carbonate, the methylating agent is selected from a group consisting of methyl iodide (CH_3I) and dimethyl sulfate

$((CH_3)_2SO_4)$, and the acid is selected from a group consisting of hydrochloric acid and sulfuric acid.

【Claim 6】

The preparation method as set forth in claim 4, wherein the reaction temperature is 0℃ ~ 150℃.

【Claim 7】

The preparation method as set forth in claim 6, wherein the reaction temperature is 0℃ ~ 90℃.

【Claim 8】

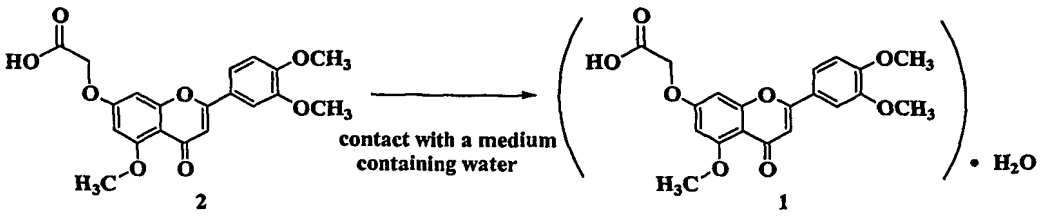
The preparation method as set forth in claim 4, wherein the base used in step 2 is selected from a group consisting of inorganic base such as potassium carbonate, sodium hydroxide, potassium hydroxide and sodium carbonate; alcoholic metal salt such as sodium methoxide and sodium ethoxide; alkaline metal hydride such as sodium hydride; and alkaline earth metal hydride such as calcium hydride.

【Claim 9】

A preparation method of 7-carboxymethyloxy-3',4',5-trimethoxy flavone.monohydrate represented by formula 1 of claim 1, which is characterized by the

process of stirring the compound of formula 2 obtained from the step 4 of claim 4 in a medium containing water as shown in the below scheme 4.

<Scheme 4>



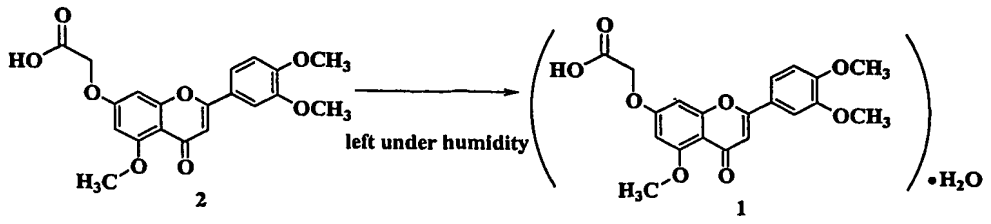
【Claim 10】

The preparation method of 7-carboxymethyloxy-3',4',5-trimethoxy flavone.monohydrate of claim 1 as set forth in claim 9, wherein the medium containing water is ethanol or acetone.

【Claim 11】

A preparation method of 7-carboxymethyloxy-3',4',5-trimethoxy flavone.monohydrate represented by formula 1 of claim 1, in which the compound of formula 2 obtained from the step 4 of claim 4 was placed under humidified atmosphere as shown in the below scheme 5.

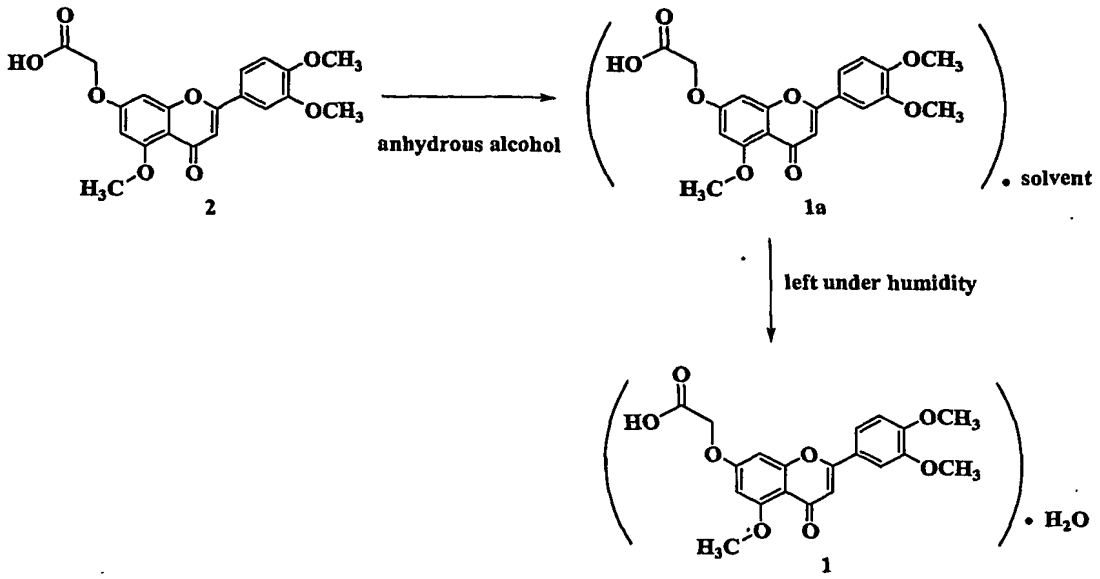
<Scheme 5>



【Claim 12】

A preparation method of 7-carboxymethyloxy-3',4',5-trimethoxy flavone.monohydrate represented by formula 1 of claim 1, which includes the steps of stirring the compound of formula 2 obtained from the step 4 of scheme 3 in anhydrous alcohol to give 7-carboxymethyloxy-3',4',5-trimethoxy flavone.solvate represented by formula 1a and leaving the solvate under humidified atmosphere as shown in the below scheme 6.

<Scheme 6>



【Claim 13】

The preparation method of 7-carboxymethyloxy-3',4',5-trimethoxy flavone.monohydrate of claim 1 as set forth in claim 12, wherein the anhydrous alcohol is anhydrous ethanol.

【Claim 14】

A pharmaceutical composition for the protection of gastrointestinal tract including the colon and the treatment of gastrointestinal diseases containing the 7-carboxymethyloxy-3',4',5-trimethoxy flavone.monohydrate of claim 1 as an effective ingredient.

【Claim 15】

A pharmaceutical composition for the protection of gastrointestinal tract including the colon and the treatment of gastrointestinal diseases such as gastritis, gastric ulcer, ulcerative colitis and Crohn's disease containing the 7-carboxymethyloxy-3',4',5-trimethoxy flavone.monohydrate of claim 1 as an effective ingredient.